

=> d his

(FILE 'HOME' ENTERED AT 13:29:19 ON 27 MAY 2009)

FILE 'CAPLUS' ENTERED AT 13:29:30 ON 27 MAY 2009  
E WANG JIABING/AU  
SET EXPAND CONTINUOUS

L1 55 S E1-E3  
L2 5 S L1 AND ANDROGENS/IT  
L3 2 S L2 AND (PY<2004 OR AY<2004 OR PRY<2004)  
E MCVEAN CAROL/AU

L4 11 S E16  
L5 1 S L4 AND ANDROGENS/IT  
L6 5 S L1 AND ANDROGENS/CT  
L7 2 S L6 AND (PY<2004 OR AY<2004 OR PRY<2004)  
L8 0 S L7 NOT L3

FILE 'REGISTRY' ENTERED AT 13:33:32 ON 27 MAY 2009  
E 153114-66-0/RN

L9 1 S E27

FILE 'CAPLUS' ENTERED AT 13:33:55 ON 27 MAY 2009

L10 6 S L9  
L11 6 S L10 AND (PY<2004 OR AY<2004 OR PRY<2004)

FILE 'REGISTRY' ENTERED AT 13:35:21 ON 27 MAY 2009  
E 828241-49-2/RN

L12 1 S E39  
E 153114-77-3/RN

L13 1 S E51  
E 828241-50-5/RN

L14 1 S E63  
E 827581-88-4/RN

L15 1 S E75

FILE 'CAPLUS' ENTERED AT 13:37:18 ON 27 MAY 2009

L16 1 S L15

FILE 'REGISTRY' ENTERED AT 13:38:07 ON 27 MAY 2009  
E 827582-67-2/RN

L17 1 S E87

FILE 'CAPLUS' ENTERED AT 13:38:32 ON 27 MAY 2009

L18 1 S L17

FILE 'REGISTRY' ENTERED AT 13:38:57 ON 27 MAY 2009  
E 827583-17-5/RN

L19 1 S E99

FILE 'CAPLUS' ENTERED AT 13:39:19 ON 27 MAY 2009

L20 1 S L19

FILE 'REGISTRY' ENTERED AT 13:39:41 ON 27 MAY 2009  
E 827581-68-9/RN

L21 0 S E111  
E 827584-68-9/RN

L22 1 S E123

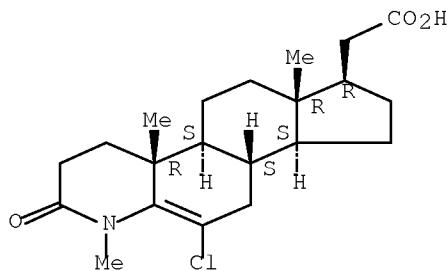
FILE 'CAPLUS' ENTERED AT 13:40:33 ON 27 MAY 2009  
L23 1 S L22

FILE 'REGISTRY' ENTERED AT 13:40:54 ON 27 MAY 2009  
E 827584-77-0/RN  
L24 1 S E135

FILE 'CAPLUS' ENTERED AT 13:41:19 ON 27 MAY 2009  
L25 1 S L24

L10 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2009 ACS on STN  
RN 867345-83-3 REGISTRY  
CN 1H-Indeno[5,4-f]quinoline-7-acetic acid,  
11-chloro-2,3,4,4a,4b,5,6,6a,7,8,9,9a,9b,10-tetradecahydro-  
1,4a,6a-  
trimethyl-2-oxo-, (4aR,4bS,6aR,7R,9aS,9bS)- (CA INDEX NAME)  
FS STEREOSEARCH  
MF C21 H30 Cl N O3  
SR CA  
LC STN Files: CA, CAPLUS, CASREACT, USPATFULL  
DT.CA CAplus document type: Patent  
RL.P Roles from patents: PREP (Preparation); RACT (Reactant or  
reagent)

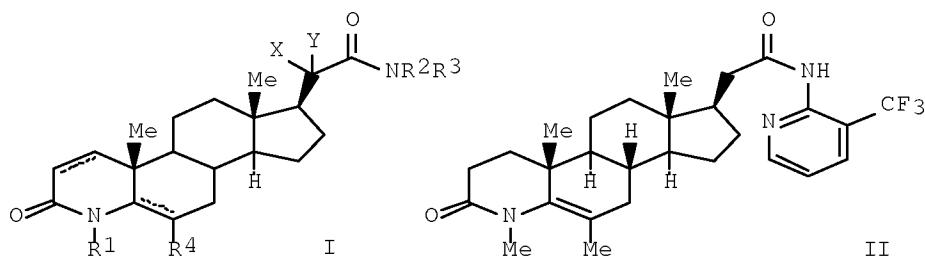
Absolute stereochemistry.



=> s 110  
L11 1 L10

=> d 111 ti abs ibib hitind

L11 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2009 ACS on STN  
TI Preparation of 17beta-acetamide-4-azasteroids as androgen receptor  
modulators  
GI



AB Azasteroids of structural formula I [X, Y = H, halo, OH, alkoxy, hydroxymethyl, alkyl; R1 = H, acyl, OH, alkyl, etc.; R1R4 = 5-6 membered ring; R2 = H, alkyl; R3 = aryl, alkylaryl, heteroaryl, alkyl, etc.; R2R3 = 5-6 membered ring; R4 = halo, alkyl, cyclopropane, oxo, etc.] are prepared as modulators of the androgen receptor (AR) in a tissue selective manner. These compds. are useful in the enhancement of weakened muscle tone and the treatment of conditions caused by androgen deficiency or which can be ameliorated by androgen administration, including osteoporosis, osteopenia, glucocorticoid-induced osteoporosis, periodontal disease, bone fracture, bone damage following bone reconstructive surgery, sarcopenia, frailty, aging skin, male hypogonadism, postmenopausal symptoms in women, atherosclerosis, hypercholesterolemia, hyperlipidemia, obesity, aplastic anemia and other hematopoietic disorders, inflammatory arthritis and joint repair, HIV-wasting, prostate cancer, benign prostatic hyperplasia (BPH), cancer cachexia, Alzheimer's disease, muscular dystrophies, cognitive decline, sexual dysfunction, sleep apnea, depression, premature ovarian failure, and autoimmune disease, alone or in combination with other active agents. Thus, II was prepared. Some of the compds. had IC50 values of 1  $\mu$ M or less in an assay for endogenously expressed AR.

ACCESSION NUMBER: 2005:1154379 CAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 143:406045  
 TITLE: Preparation of 17 $\beta$ -acetamide-4-azasteroids as androgen receptor modulators  
 INVENTOR(S): Wang, Jiabing; Mcvean, Carol A.  
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA  
 SOURCE: PCT Int. Appl., 93 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	-----	-----	-----	-----
WO 2005099707 20050404	A1	20051027	WO 2005-US11537	
CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,				

GB, GD,  
KR, KZ,  
MZ, NA,  
SK, SL,  
YU, ZA,  
ZW, AM,  
DE, DK,  
PL, PT,  
GW, ML,  
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP,  
LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX,  
NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG,  
SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN,  
ZM, ZW  
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,  
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ,  
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL,  
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,  
MR, NE, SN, TD, TG

=> s 118  
L19 1 L18

=> d his

(FILE 'HOME' ENTERED AT 14:01:55 ON 27 MAY 2009)

FILE 'REGISTRY' ENTERED AT 14:02:12 ON 27 MAY 2009  
E 867345-26-4/RN  
SET EXPAND CONTINUOUS  
L1 1 S E3

FILE 'REGISTRY' ENTERED AT 14:04:07 ON 27 MAY 2009  
L2 STRUCTURE uploaded  
L3 2 S L2 SSS SAM  
L4 30 S L2 SSS FULL

FILE 'CAPPLUS' ENTERED AT 14:04:41 ON 27 MAY 2009  
L5 1 S L4

FILE 'BIOSIS' ENTERED AT 14:05:00 ON 27 MAY 2009  
L6 0 S L4

FILE 'MARPAT' ENTERED AT 14:05:12 ON 27 MAY 2009  
L7 0 S L4

FILE 'REGISTRY' ENTERED AT 14:12:21 ON 27 MAY 2009  
L10 1 S 867345-83-3/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

FILE 'CAPPLUS' ENTERED AT 14:12:33 ON 27 MAY 2009  
L11 1 S L10

FILE 'REGISTRY' ENTERED AT 14:13:13 ON 27 MAY 2009  
L12 1 S 867345-82-2/RN

SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

FILE 'CAPLUS' ENTERED AT 14:13:33 ON 27 MAY 2009  
L13 1 S L12

FILE 'REGISTRY' ENTERED AT 14:13:46 ON 27 MAY 2009  
L14 1 S 867345-81-1/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

FILE 'CAPLUS' ENTERED AT 14:13:58 ON 27 MAY 2009  
L15 1 S L14

FILE 'REGISTRY' ENTERED AT 14:14:15 ON 27 MAY 2009  
L16 1 S 867345-77-5/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

FILE 'CAPLUS' ENTERED AT 14:14:25 ON 27 MAY 2009  
L17 1 S L16

FILE 'REGISTRY' ENTERED AT 14:14:44 ON 27 MAY 2009  
L18 1 S 867345-26-4/RN  
SET NOTICE 1 DISPLAY  
SET NOTICE LOGIN DISPLAY

FILE 'CAPLUS' ENTERED AT 14:14:56 ON 27 MAY 2009  
L19 1 S L18

d his

(FILE 'HOME' ENTERED AT 09:41:19 ON 28 MAY 2009)

FILE 'REGISTRY' ENTERED AT 09:41:31 ON 28 MAY 2009  
L1 STRUCTURE UPLOADED  
L2 0 S L1 SSS FULL  
L3 STRUCTURE UPLOADED  
L4 476 S L3 SSS FULL

FILE 'CAPLUS' ENTERED AT 09:43:45 ON 28 MAY 2009  
L5 233 S L4  
L6 48 S L5 AND ANDROGENS/IT  
L7 26 S L6 AND (PY,2004 OR AY<2004 OR PRY,2004)  
L8 15 S L5 AND ANDROGEN RECEPTORS/IT  
L9 12 S L8 AND (PY<2004 OR AY<2004 OR PRY<2004)  
L10 10 S L9 NOT L7  
L11 3 S L5 AND HORMONE REPLACEMENT THERAPY/IT  
L12 1 S L11 AND (PY<2004 OR AY,2004 OR PRY<2004)  
L13 1 S L11 AND (PY<2004 OR AY<2004 OR PRY<2004)  
L14 0 S L13 NOT L7  
L15 3 S L5 AND HYPOGONADISM/IT  
L16 207 S L5 NOT L7  
L17 1 S L15 NOT L7  
L18 1 S L17 AND (PY<2004 OR AY<2004 OR PRY<2004)  
L19 7 S L5 AND CANCER/IT

L20 6 S L19 AND (PY<2004 OR AY<2004 OR PRY<2004)  
L21 5 S L20 NOT L7  
L22 4 S L5 AND OSTEOPOROSIS/IT  
L23 3 S L22 AND (PY<2004 OR AY,2004 OR PRY<2004)  
L24 3 S L22 AND (PY<2004 OR AY<2004 OR PRY<2004)  
L25 1 S L24 NOT L7  
L26 0 S L5 AND REPRODUCTION/IT  
L27 7 S L5 AND MUSCLE/IT  
L28 4 S L27 AND (PY<2004 OR AY<2004 OR PRY<2004)  
L29 2 S L28 NOT L7  
L30 0 S L5 AND NEURODEGENERATION/IT

d his

(FILE 'HOME' ENTERED AT 10:58:49 ON 28 MAY 2009)

FILE 'REGISTRY' ENTERED AT 10:59:02 ON 28 MAY 2009  
E 137099-09-3/RN  
SET EXPAND CONTINUOUS

L1 1 S E3  
E 188754-68-9/RN  
L2 1 S E15  
E 188754-70-3/RN  
L3 1 S E27  
E 73671-86-0/RN  
L4 1 S E39  
E 158522-92-0/RN  
L5 1 S E51  
E 158522-93-1/RN  
L6 1 S E63

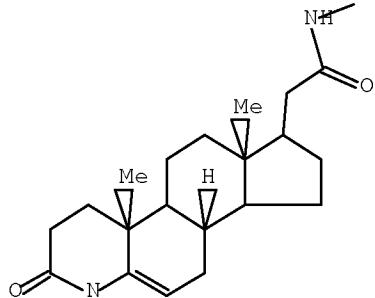
FILE 'REGISTRY' ENTERED AT 11:06:15 ON 28 MAY 2009  
E 867345-26-4/RN

L7 1 S E75  
L8 STRUCTURE UPLOADED

L8 STRUCTURE UPLOADED

=> d 18

L8 HAS NO ANSWERS  
L8 STR



L9 0 S L8 SSS FULL  
L10 STRUCTURE UPLOADED

L11

2 S L10 SSS FULL

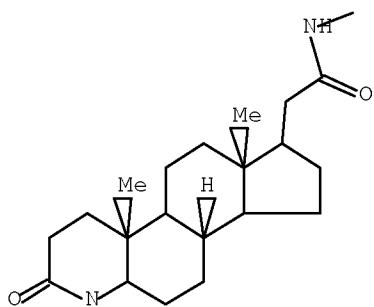
L10

STRUCTURE UPLOADED

=> d 110

L10 HAS NO ANSWERS

L10 STR



FILE 'CAPLUS' ENTERED AT 11:09:43 ON 28 MAY 2009

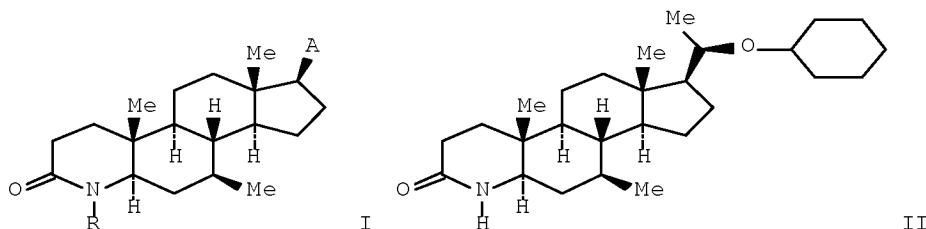
L12 6 S L11

L13 6 S L12 AND (PY<2004 OR AY<2004 OR PRY<2004)

L13 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN

TI Preparation of 7β-substituted-4-aza-5α-androstan-3-ones as 5α-reductase inhibitors

GI



AB New 7β-substituted 4-aza-5α-androstan-3-ones of formula I [R = H, Me, Et; A = aminoalkyl, alkyl, alkoxy, etc.] and related compds. are prepared as 5α-reductase inhibitors. Thus, II was prepared from 20-hydroxy-7β-methyl-5α-4-azapregnan-3-one and dimethoxycyclohexane in 2 steps.

ACCESSION NUMBER: 1998:62248 CAPLUS Full-text

DOCUMENT NUMBER: 128:140893

ORIGINAL REFERENCE NO.: 128:27727a, 27730a

TITLE: Preparation of

7β-substituted-4-aza-5α-androstan-3-ones as

5 $\alpha$ -reductase inhibitors

INVENTOR(S): Bakshi, Raman K.; Rasmusson, Gary H.; Tolman, Richard

Graham, L.; Patel, Gool F.; Harris, Georgianna S.;

PATENT ASSIGNEE(S): Donald W.; Witzel, Bruce E.

Merck and Co., Inc., USA

SOURCE: U.S., 95 pp., Cont.-in-part of U.S. Ser. No. 886,572,

abandoned.

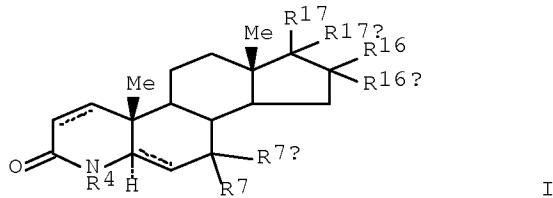
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5710275	A	19980120	US 1995-341602	
19950403 <--				
WO 9323420	A1	19931125	WO 1993-US4643	
19930514 <--				
W: BB, BG, BR, CZ, FI, HU, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO,				
RU, SD, SK, UA, US				
RW: BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.: 19920520 <--			US 1992-886572	B2
			WO 1993-US4643	W
19930514 <--				
L13 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN				
TI Preparation of substituted 4-aza-3-oxo-steroids for use as 5 $\alpha$ -reductase inhibitors				
GI				



AB Steroids such as 4-aza-5 $\alpha$ -androstan-ones I [1,2-, 5,6-saturated or unsatd.; R4 = H, Me, Et; R7 = R7a = H, OH, alkyl, alkenyl, carbamoyloxy, carboxy, etc.; R7R7a = oxo, cycloalkyl, etc.; R16 = R16a = H, alkyl; R16R16a = cycloalkenyl; R17 = R17a = H, acyl, carbamoyl, aminoalkyl, alkyl, etc.; R17R17a = oxo, etc.] were prepared as 5 $\alpha$ -reductase inhibitors for treatment of hyperandrogenic conditions. Thus, 4-methyl-17 $\beta$ -

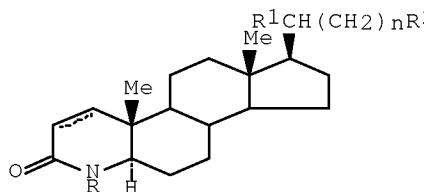
(trimethylacetamido)-5 $\alpha$ -4-azaandrostan-3-one was prepared via oximation of 4-methyl-3-oxo-5 $\alpha$ -4-azaandrostan-17- carboxaldehyde, hydrogenation to form the corresponding amine followed by N- acylation with Me<sub>3</sub>CCO<sub>2</sub>Cl. The prepared compds. were tested for inhibition of human prostatic and scalp 5 $\alpha$ -reductase, however, activities for specific compds. were not presented.

ACCESSION NUMBER: 1997:776029 CAPLUS Full-text  
 DOCUMENT NUMBER: 128:61680  
 ORIGINAL REFERENCE NO.: 128:12090h,12091a  
 TITLE: Preparation of substituted 4-aza-3-oxo-  
 steroids for use as 5 $\alpha$ -reductase inhibitors  
 INVENTOR(S): Durette, Philippe L.; Hagmann, William;  
 Rasmussen, Gary H.; Tolman, Richard L.; Kopka, Ihor E.;  
 Sahoo, Soumya P.; Esser, Craig K.; Steinberg, Nathan  
 G.; Graham, Donald W.; Witzel, Bruce E.  
 PATENT ASSIGNEE(S): Merck and Co., Inc., USA  
 SOURCE: U.S., 139 pp., Cont.-in-part of U.S. Ser. No.  
 886,537, abandoned.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	----
US 5693809 19950512 <--	A	19971202	US 1995-338571	
PRIORITY APPLN. INFO.: 19920520 <--			US 1992-886537	B2

L13 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN  
 TI 17-Ester, amide, and ketone derivatives of 3-oxo-4-azasteroids as  
 5 $\alpha$ -reductase inhibitors

GI



AB Title compds. I [R = H, Me, Et; R1 = H, Me; R2 = acyl, carbamoyl, carboxylic ester; n = 0-10] were prepared for use as 5 $\alpha$ -reductase inhibitors. Thus, 3-oxo-4-methyl-N-phenyl-4-aza-5 $\alpha$ -pregnane-21-carboxylic acid was converted to its anilide by reaction with PhNH<sub>2</sub> in presence of Me<sub>2</sub>CHCOCl, N-methylmorpholine, and DMAP.

ACCESSION NUMBER: 1996:323793 CAPLUS Full-text

DOCUMENT NUMBER: 125:58853

ORIGINAL REFERENCE NO.: 125:11337a,11340a

TITLE: 17-Ester, amide, and ketone derivatives of 3-oxo-4-azasteroids as 5 $\alpha$ -reductase inhibitors

INVENTOR(S): Graham, Donald W.; Aster, Susan D.; Hagmann, William; Tolman, Richard L.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: U.S., 22 pp., Cont.-in-part of U.S. Ser. No. 886,021, abandoned.

DOCUMENT TYPE: Patent

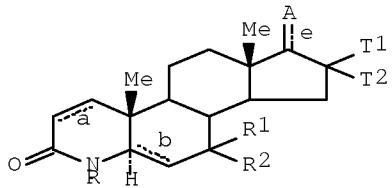
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	----
US 5510485 19941110 <--	A	19960423	US 1994-335792	
PRIORITY APPLN. INFO.: 19920520 <--			US 1992-886021	B2

L13 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN  
 TI preparation of substituted 4-aza-5 $\alpha$ -androstanones as 5 $\alpha$ -reductase inhibitors  
 GI

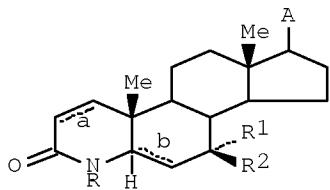


AB 4-Aza-5 $\alpha$ -androstan-3-ones [I; R = H, Me, Et; T1, T2 = H, C<sub>1-6</sub> alkyl, T<sub>1</sub>T<sub>2</sub> = C<sub>1-6</sub> alkylidene; R<sub>1</sub>, R<sub>2</sub> = H, C<sub>1-4</sub> alkyl, C<sub>2-4</sub> alkenyl, CO<sub>2</sub>H, OH, CH<sub>2</sub>CO<sub>2</sub>H, carbamoyloxy, etc., R<sub>1</sub>R<sub>2</sub> = O; A = (substituted) hydrocarbyl, carbamoyl, etc.; a, b, e = single or double bond] and related compds., effective at 0.01-7 mg/kg as 5 $\alpha$ -reductase inhibitors in treating benign prostatic hypertrophy, prostatitis, prostatic carcinoma, hyperandrogenic conditions,

etc., are prepared. Thus, oximation of 4-methyl-3-oxo-4-aza-5 $\alpha$ -androstan-17 $\beta$ -carboxaldehyde and subsequent reduction by H over PtO<sub>2</sub> gave the corresponding 17 $\beta$ -(aminomethyl) derivative. Acylation of this aminomethyl compound with MeO<sub>2</sub>C(CH<sub>2</sub>)<sub>7</sub>COCl in pyridine/CH<sub>2</sub>C<sub>12</sub> gave 17 $\beta$ -[[8-(methoxycarbonyl)octanoyl]amino]methyl]-4-methyl-4-aza-5 $\alpha$ -androstan-3-one.

ACCESSION NUMBER: 1995:266948 CAPLUS Full-text  
DOCUMENT NUMBER: 122:56297  
ORIGINAL REFERENCE NO.: 122:10919a,10922a  
TITLE: preparation of substituted 4-aza-5 $\alpha$ -androstanones as 5 $\alpha$ -reductase inhibitors  
INVENTOR(S): Durette, Philippe L.; Hagmann, William;  
Rasmussen, Gary H.; Tolman, Richard L.; Kopka, Ihor E.;  
Sahoo, Soumya P.; Esser, Craig K.; Steinberg, Nathan  
G.; Graham, Donald W.; Witzel, Bruce E.  
PATENT ASSIGNEE(S): Merck and Co., Inc., USA  
SOURCE: PCT Int. Appl., 533 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
WO 9323039	A1	19931125	WO 1993-US4734	
19930518 <--				
W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO,				
NZ, PL, RO, RU, SD, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,				
BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9342519	A	19931213	AU 1993-42519	
19930518 <--				
PRIORITY APPLN. INFO.:			US 1992-886537	A2
19920520 <--			WO 1993-US4734	A
19930518 <--				
L13 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN				
TI preparation of 7 $\beta$ -substituted-4-aza-5 $\alpha$ -androstan-3-ones as 5 $\alpha$ -reductase inhibitors				
GI				



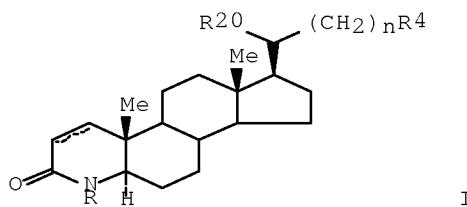
AB The title compds. [I; R = H, Me, Et; R1 = H; R2 = substituted C1-4 alkyl, C2-4 alkenyl, OH, CO<sub>2</sub>H, ester residue, CH<sub>2</sub>CO<sub>2</sub>H, etc., R1R2 = O; A = oxo, substituted alkyl, etc.; a, b = saturated or unsatd. ( $\alpha$ -H is absent)], useful in treating male pattern baldness, benign prostatic hypertrophy, prostatic carcinoma, prostatitis, etc. at 0.01-7 mg/kg-day, are prepared Oxidative cleavage of 17 $\beta$ -[(tert-butyldimethylsilyl)oxy]-7 $\beta$ -methylandrostan-4-en-3-one with NaIO<sub>4</sub> and KMnO<sub>4</sub> in tert-BuOH at 80° gave 17 $\beta$ -[(tert-butyldimethylsilyl)oxy]-7 $\beta$ -methyl-5-oxo-A-nor-3,5-secoandrostan-3-oic acid, which was heated with MeNH<sub>2</sub>.HCl and NaOAc in HOCH<sub>2</sub>CH<sub>2</sub>OH at 180° to give the aza analog I (R = R2 = Me, R1 = H, A =  $\beta$ -tert-BuSiMe<sub>2</sub>O, a = saturated, b = unsatd.,  $\alpha$ -H absent).

ACCESSION NUMBER: 1994:680955 CAPLUS Full-text  
 DOCUMENT NUMBER: 121:280955  
 ORIGINAL REFERENCE NO.: 121:51307a, 51310a  
 TITLE: preparation of  
 7 $\beta$ -substituted-4-aza-5 $\alpha$ -androstan-3-ones as  
 5 $\alpha$ -reductase inhibitors  
 INVENTOR(S): Bakshi, Raman K.; Rasmusson, Gary H.; Tolman,  
 Richard  
 Graham, Donald L.; Patel, Gool F.; Harris, Georgianna;  
 PATENT ASSIGNEE(S): Witzel, Bruce E.  
 Merck and Co., Inc., USA  
 SOURCE: Eur. Pat. Appl., 229 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
-----				
EP 572166	A1	19931201	EP 1993-303882	
19930519 <--				
EP 572166	B1	19970813		
PT, SE			R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL,	
IL 105715	A	19970713	IL 1993-105715	
19930517 <--				
CA 2096616	A1	19931121	CA 1993-2096616	
19930519 <--				
AU 9338698	A	19931125	AU 1993-38698	

19930519 <--			
AU 662224	B2	19950824	
ZA 9303497	A	19931214	ZA 1993-3497
19930519 <--			
CN 1087092	A	19940525	CN 1993-107704
19930519 <--			
EP 778284	A2	19970611	EP 1996-202933
19930519 <--			
EP 778284	A3	19970813	
EP 778284	B1	20031126	

L13 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN  
 TI Preparation of 17-ester, -amide, and -ketone derivatives of  
 3-oxo-4-azasteroids as testosterone 5 $\alpha$ -reductase inhibitors  
 GI



AB Title compds. [I; R = H, Me, Et; R4 = COR1, CONHR2, CO2R3; R1 = (hetero)aryl; R2 = substituted Ph, (substituted)heteroaryl, cycloalkyl; R3 = cycloalkyl, (substituted)aryl; R20 = H, Me; n = 0-10; dashed line = optional bond] were prepared as testosterone 5 $\alpha$ -reductase inhibitors (no data). Thus, 4-methyl-17 $\beta$ -trifluoromethylsulfonyloxy-4-aza- 5 $\alpha$ -androst-16-en-3-one was condensed with HC.tpbond.CCH2CH2CO2Me and the reduced product saponified to give I (R = Me, R4 CO2H, R20 = H, n = 3).

ACCESSION NUMBER: 1994:134931 CAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 120:134931  
 ORIGINAL REFERENCE NO.: 120:23791a,23794a  
 TITLE: Preparation of 17-ester, -amide, and -ketone derivatives of 3-oxo-4-azasteroids as testosterone 5 $\alpha$ -reductase inhibitors  
 INVENTOR(S): Graham, Donald W.; Aster, Susan D.; Hagmann, William; Tolman, Richard L.  
 PATENT ASSIGNEE(S): Merck and Co., Inc., USA  
 SOURCE: PCT Int. Appl., 60 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
------------	------	------	-----------------	------

-----  
----  
WO 9323051 A1 19931125 WO 1993-US4631  
19930517 <--  
W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN,  
MW, NO,  
NZ, PL, RO, RU, SD, SK, UA, US  
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL,  
PT, SE,  
BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG  
AU 9342505 A 19931213 AU 1993-42505  
19930517 <--  
AU 674145 B2 19961212  
EP 641209 A1 19950308 EP 1993-911331  
19930517 <--  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL,  
PT, SE  
JP 07508033 T 19950907 JP 1993-503779  
19930517 <--  
PRIORITY APPLN. INFO.: US 1992-886021 A2  
19920520 <--  
WO 1993-US4631 A  
19930517 <--